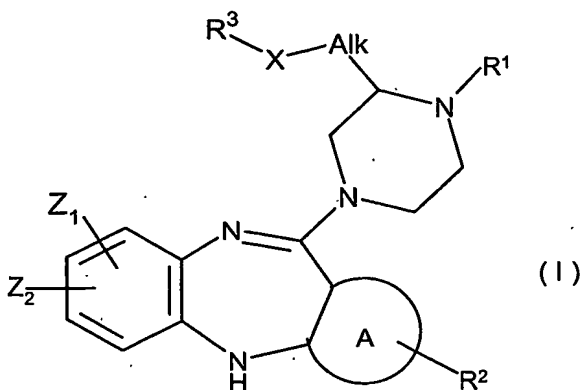


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We Claim:

1. A compound of formula (I):



wherein:



A is an optionally benzo-fused five or six member aromatic ring having zero to three hetero atoms independently selected from N, S, and O;

Alk is (C<sub>1-4</sub>) alkylene or hydroxy substituted (C<sub>1-4</sub>) alkylene;

X is oxygen or sulfur;

R<sup>1</sup> is hydrogen, (C<sub>1-6</sub>) fluoroalkyl, (C<sub>3-6</sub>) cycloalkyl, or (C<sub>1-4</sub>) alkyl, wherein the (C<sub>1-4</sub>) alkyl is unsubstituted or substituted with hydroxy, methoxy, ethoxy, OCH<sub>2</sub>CH<sub>2</sub>OH, or -CN;

R<sup>2</sup> is H, halogen, (C<sub>1-6</sub>) fluoroalkyl, (C<sub>3-6</sub>) cycloalkyl, OR<sup>4</sup>, SR<sup>4</sup>, NO<sub>2</sub>, CN, COR<sup>4</sup>, C(O)OR<sup>4</sup>, CONR<sup>5</sup>R<sup>6</sup>, NR<sup>5</sup>R<sup>6</sup>, SO<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, NR<sup>5</sup>COR<sup>4</sup>, NR<sup>5</sup>SO<sub>2</sub>R<sup>4</sup>, optionally substituted aromatic, or (C<sub>1-6</sub>) alkyl, wherein the (C<sub>1-6</sub>) alkyl is unsubstituted or substituted with hydroxy;

R<sup>3</sup> is hydrogen, (C<sub>1-4</sub>) alkyl, (C<sub>3-6</sub>) cycloalkyl, (C<sub>2-6</sub>) alkenyl, Ar, or (C<sub>1-4</sub>) alkyl-Ar;

R<sup>4</sup> is hydrogen, (C<sub>1-6</sub>) alkyl, (C<sub>1-6</sub>) fluoroalkyl, or optionally substituted aromatic;

R<sup>5</sup> and R<sup>6</sup> are independently hydrogen, (C<sub>1-6</sub>) alkyl, or optionally substituted aromatic;

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$R^7$  is hydrogen,  $(C_{1-6})$  alkyl,  $(C_{1-6})$  fluoroalkyl, or optionally substituted aromatic;

$R^8$  and  $R^9$  are independently hydrogen,  $(C_{1-6})$  alkyl, or optionally substituted aromatic;

5 Ar is optionally substituted phenyl, naphthyl, monocyclic heteroaromatic or bicyclic heteroaromatic;

$Z^1$  and  $Z^2$  are independently selected from hydrogen, halogen,  $(C_{1-6})$  alkyl,  $(C_{1-6})$  fluoroalkyl,  $OR^7$ ,  $SR^7$ ,  $NO_2$ ,  $CN$ ,  $COR^7$ ,  $CONR^8R^9$ ,  $NR^8R^9$ , and optionally substituted aromatic;

10 and all salts, solvates, optical and geometric isomers, and crystalline forms thereof.

2. The compound of claim 1, wherein:

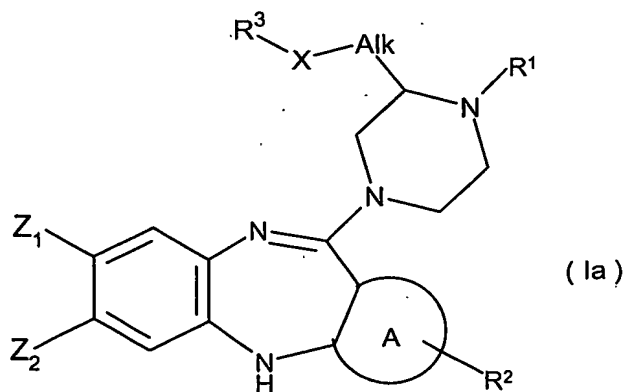
15  $R^1$  is hydrogen or  $(C_{1-4})$  alkyl unsubstituted or substituted with hydroxy, methoxy, ethoxy,  $-OCH_2CH_2OH$ , or  $-CN$ ;

$R^2$  is H,  $(C_{1-6})$  alkyl, halogen,  $(C_{1-6})$  fluoroalkyl,  $-OR^4$ ,  $-SR^4$ ,  $-NO_2$ ,  $-CN$ ,  $-COR^4$ ,  $-C(O)OR^4$ ,  $-CONR^5R^6$ ,  $-NR^5R^6$ ,  $-SO_2NR^5R^6$ ,  $-NR^5COR^4$ ,  $-NR^5SO_2R^4$ , or optionally substituted aromatic; and

$R^3$  is hydrogen,  $(C_{1-4})$  alkyl, Ar, or  $(C_{1-4})$ alkyl-Ar

20

3. The compound of claim 1 of formula (Ia):



wherein:

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Alk is (C<sub>1-4</sub>) alkylene;

R<sup>2</sup> is H, halogen, (C<sub>1-6</sub>) fluoroalkyl, (C<sub>3-6</sub>) cycloalkyl, -OR<sup>4</sup>, -SR<sup>4</sup>, -NO<sub>2</sub>, -CN, -COR<sup>4</sup>, -C(O)OR<sup>4</sup>, -CONR<sup>5</sup>R<sup>6</sup>, phenyl, or (C<sub>1-6</sub>) alkyl, wherein the (C<sub>1-6</sub>) alkyl is unsubstituted or substituted with a hydroxyl group;

5 R<sup>3</sup> is hydrogen, (C<sub>1-6</sub>) fluoroalkyl, (C<sub>2-6</sub>) alkenyl, phenyl, or (C<sub>1-4</sub>) alkyl wherein (C<sub>1-4</sub>) alkyl is unsubstituted or substituted with a phenyl group;

R<sup>4</sup> is hydrogen, (C<sub>1-6</sub>) alkyl, or (C<sub>1-6</sub>) fluoroalkyl;

R<sup>5</sup> and R<sup>6</sup> are independently hydrogen or (C<sub>1-6</sub>) alkyl;

R<sup>7</sup> is hydrogen, (C<sub>1-6</sub>) alkyl, or (C<sub>1-6</sub>) fluoroalkyl;

10 Z<sup>1</sup> and Z<sup>2</sup> are independently selected from hydrogen, halogen, (C<sub>1-6</sub>) alkyl, (C<sub>1-6</sub>) fluoroalkyl, -OR<sup>7</sup>, -SR<sup>7</sup>, -NO<sub>2</sub>, -CN, and -COR<sup>7</sup>; and

phenyl is unsubstituted or substituted with one to three substituents independently selected from hydrogen, halogen, (C<sub>1-6</sub>) alkyl, (C<sub>1-6</sub>) fluoroalkyl, -OH, (C<sub>1-6</sub>) alkoxy, (C<sub>1-6</sub>) fluoroalkoxy, (C<sub>1-6</sub>) alkylthio, (C<sub>1-6</sub>) acyl, (C<sub>1-4</sub>) alkylsulfonyl, -OCF<sub>3</sub>, -NO<sub>2</sub>, -CN, 15 carboxamido which may be substituted on the nitrogen by one or two (C<sub>1-4</sub>) alkyl groups, and -NH<sub>2</sub> in which one of the hydrogens may be replaced by a (C<sub>1-4</sub>) alkyl group and the other hydrogen may be replaced by either a (C<sub>1-4</sub>) alkyl group, a (C<sub>1-6</sub>) acyl group, or a (C<sub>1-4</sub>) alkylsulfonyl group.

20 4. The compound of claim 3, wherein:

R<sup>1</sup> is hydrogen, (C<sub>1-6</sub>) fluoroalkyl, (C<sub>3-6</sub>) cycloalkyl or (C<sub>1-4</sub>) alkyl, wherein the (C<sub>1-4</sub>) alkyl is unsubstituted or substituted with hydroxy, methoxy or -OCH<sub>2</sub>CH<sub>2</sub>OH;

R<sup>2</sup> is H, (C<sub>1-6</sub>) fluoroalkyl, (C<sub>3-6</sub>) cycloalkyl, -C(O)OR<sup>4</sup>, or (C<sub>1-6</sub>) alkyl, wherein the (C<sub>1-6</sub>) alkyl is unsubstituted or substituted with a hydroxyl group;

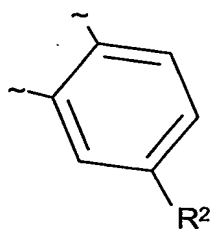
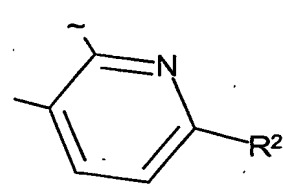
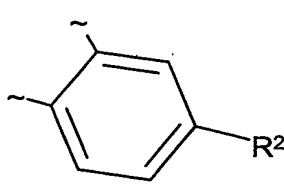
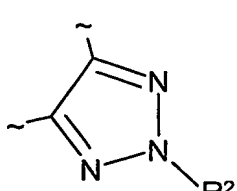
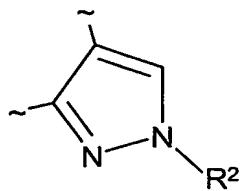
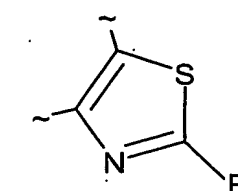
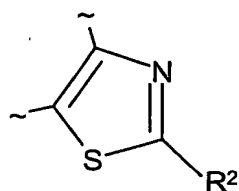
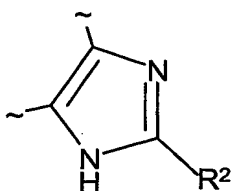
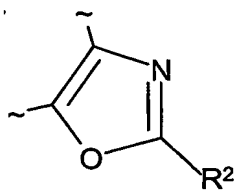
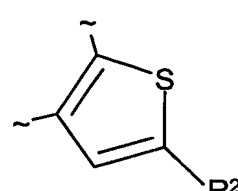
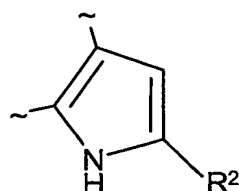
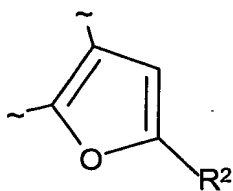
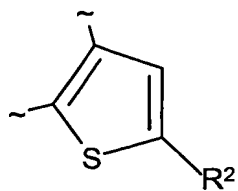
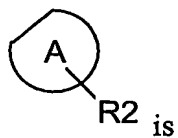
25 R<sup>3</sup> is hydrogen, (C<sub>1-4</sub>) alkyl, or (C<sub>2-6</sub>) alkenyl, wherein (C<sub>1-4</sub>) alkyl is unsubstituted or substituted with a phenyl group;

R<sup>4</sup> is hydrogen or (C<sub>1-6</sub>) alkyl; and

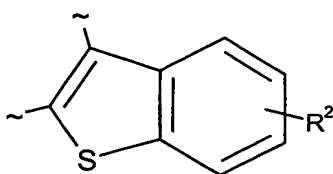
Z<sup>1</sup> and Z<sup>2</sup> are independently selected from hydrogen, halogen, (C<sub>1-6</sub>) alkyl and (C<sub>1-6</sub>) fluoroalkyl.

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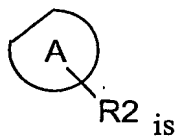
5. The compound of any one of claims 1-4, wherein



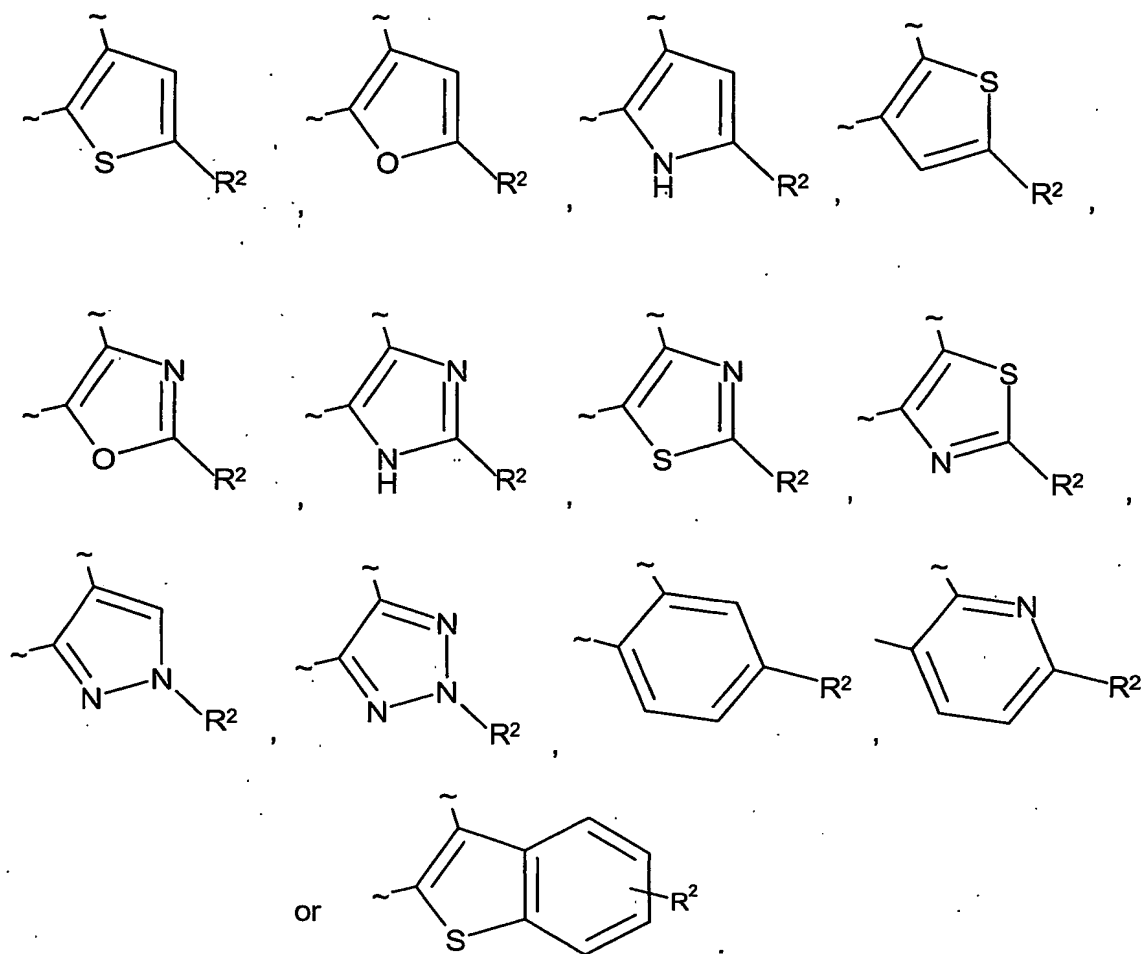
or



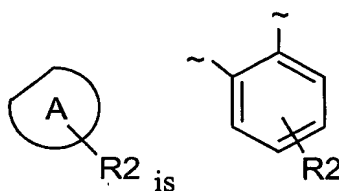
6. The compound of claim 5, wherein



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7. The compound of any one of claims 1-6, wherein



8. The compound of claim 7, wherein:

Alk is  $(C_{1-4})$  alkylene;

X is oxygen;

$R^1$  is hydrogen or  $(C_{1-6})$  alkyl;

$R^2$  is hydrogen,  $(C_{1-6})$  alkyl,  $(C_{1-6})$  fluoroalkyl,  $(C_{3-6})$  cycloalkyl, or halogen;

$R^3$  is hydrogen or  $(C_{1-4})$  alkyl; and

$Z^1$  and  $Z^2$  are independently selected from hydrogen and halogen.

9. The compound of claim 7 or 8, selected from the group consisting of

5 (S)-3-Methyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine and  
(S)-3-Methyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-  
dibenzo[b,e][1,4]diazepine.

10. The compound of claim 7 or 8, selected from the group consisting of

10 (S)-2-Methyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-2-Isopropyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-2-Isopropyl-11-[3-(3-methoxy-propyl)-piperazin-1-yl]-5H-  
dibenzo[b,e][1,4]diazepine,

15 (S)-2-Trifluoromethyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-  
dibenzo[b,e][1,4]diazepine,

(S)-2-Trifluoromethyl-11-[3-(3-methoxy-propyl)-piperazin-1-yl]-5H-  
dibenzo[b,e][1,4]diazepine,

(S)-8-Chloro-2-methyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-  
20 dibenzo[b,e][1,4]diazepine,

(S)-8-Chloro-2-isopropyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-  
dibenzo[b,e][1,4]diazepine,

(S)-8-Fluoro-2-methyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-  
dibenzo[b,e][1,4]diazepine,

25 (S)-8-Fluoro-2-trifluoromethyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-  
dibenzo[b,e][1,4]diazepine,

(S)-8-Fluoro-2-isopropyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-  
dibenzo[b,e][1,4]diazepine,

(S)-7-Fluoro-2-isopropyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-  
30 dibenzo[b,e][1,4]diazepine,

(S)-7-Fluoro-2-trifluoromethyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-  
dibenzo[b,e][1,4]diazepine,

- (S)-7-Fluoro-2-trifluoromethyl-11-[3-(3-methoxy-propyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-8-Fluoro-2-trifluoromethyl-11-[3-(3-methoxy-propyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
5 (S)-2-Cyclopropyl-7-fluoro-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-7,8-Difluoro-2-trifluoromethyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-8-Chloro-2-trifluoromethyl-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
10 (S)-2-Chloro-11-[3-(2-methoxy-ethyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-2-Methyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-2-Isopropyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
15 (S)-2-Isopropyl-11-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-2-Trifluoromethyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
20 (S)-2-Trifluoromethyl-11-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-8-Chloro-2-methyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-8-Chloro-2-isopropyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
25 (S)-8-Fluoro-2-methyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
(S)-8-Fluoro-2-trifluoromethyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,  
30 (S)-8-Fluoro-2-isopropyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,

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(*S*)-7-Fluoro-2-isopropyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,

(*S*)-7-Fluoro-2-trifluoromethyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,

5 (*S*)-7-Fluoro-2-trifluoromethyl-11-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,

(*S*)-8-Fluoro-2-trifluoromethyl-11-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,

10 (*S*)-2-Cyclopropyl-7-fluoro-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,

(*S*)-7,8-Difluoro-2-trifluoromethyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine,

(*S*)-8-Chloro-2-trifluoromethyl-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine, and

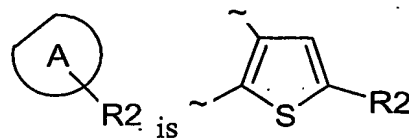
15 (*S*)-2-Chloro-11-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine.

11. The compound of claim 10, selected from the group consisting of

(*S*)-2-Methyl-11-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine

20 and

(*S*)-2-Methyl-11-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-5H-dibenzo[b,e][1,4]diazepine.



12. The compound of any one of claims 1-6, wherein

25 13. The compound of claim 12, wherein:

Alk is (C<sub>1-4</sub>) alkylene;

R<sup>1</sup> is hydrogen or (C<sub>1-4</sub>) alkyl;

R<sup>2</sup> is H, (C<sub>1-6</sub>) alkyl, halogen, or (C<sub>1-6</sub>) fluoroalkyl;



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R<sup>3</sup> is hydrogen, (C<sub>1-4</sub>) alkyl, (C<sub>2-6</sub>) alkenyl, or phenyl, wherein (C<sub>1-4</sub>) alkyl is unsubstituted or substituted with a phenyl group; and

Z<sup>1</sup> and Z<sup>2</sup> are independently selected from hydrogen and halogen.

- 5 14. The compound of claim 12 or 13, selected from the group consisting of  
(*R*)-[4-(2-Methyl-4H-3-thia-4,9-diaza-benzo[f]azulen-10-yl)-piperazin-2-yl]-methanol,  
(*R*)-10-(3-Methoxymethyl-piperazin-1-yl)-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,  
(*R*)-10-[3-(2-Methyl-allyloxymethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-  
benzo[f]azulene,  
10 (*S*)-2-[4-(2-Methyl-4H-3-thia-4,9-diazabenzof]azulene-10-yl)-piperazin-2-yl]ethanol,  
(*R*)-2-[4-(2-Methyl-4H-3-thia-4,9-diazabenzof]azulene-10-yl)-piperazin-2-yl]ethanol,  
(*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,  
(*S*)-10-[3-(2-Methoxyethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-  
diazabenzof]azulene,  
15 (*S*)-10-[3-(2-Phenoxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-  
benzo[f]azulene,  
(*S*)-10-[3-(2-Ethoxyethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzof]azulene,  
(*R*)-10-(3-Phenoxymethyl-piperazin-1-yl)-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,  
(*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-isopropyl-4H-3-thia-4,9-diaza-  
20 benzo[f]azulene,  
(*S*)-10-[3-(3-Methoxy-propyl)-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,  
(*S*)-10-[3-(3-Methoxy-propyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-  
benzo[f]azulene,  
(*S*)-10-[3-(4-Methoxybutyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-  
25 benzo[f]azulene,  
(*S*)-6-Fluoro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-4H-3-thia-4,9-diaza-  
benzo[f]azulene,  
(*S*)-6-Fluoro-10-[3-(3-methoxy-propyl)-piperazin-1-yl]-4H-3-thia-4,9-diaza-  
benzo[f]azulene,  
30 (*S*)-6-Fluoro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-  
benzo[f]azulene,

(S)-6-Fluoro-10-[3-(3-methoxy-propyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-7-Fluoro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

5 (S)-7-Fluoro-10-[3-(3-methoxy-propyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6-Fluoro-10-[3-(2-hydroxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

10 (S)-6-Fluoro-10-[3-(2-ethoxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-7-Fluoro-10-[3-(2-ethoxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6-Fluoro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-2-ethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

15 (S)-6-Fluoro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-2-isopropyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6,7-Difluoro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,

20 (S)-6,7-Difluoro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-2-[4-(6,7-Difluoro-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulen-10-yl)-piperazin-2-yl]-ethanol,

(S)-6,7-Difluoro-10-[3-(2-ethoxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

25 (S)-6,7-Difluoro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-2-ethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6-Chloro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

30 (S)-7-Chloro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,

(*S*)-7-Chloro-10-[3-(3-methoxy-propyl)-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,

(*S*)-7-Chloro-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzofazulene,

5 (*S*)-7-Chloro-10-[3-(2-hydroxy-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzofazulene,

(*R*)-[1-Methyl-4-(2-methyl-4H-3-thia-4,9-diaza-benzofazulen-10-yl)-piperazin-2-yl]-methanol,

10 (*R*)-10-(3-Methoxymethyl-4-methyl-piperazin-1-yl)-2-methyl-4H-3-thia-4,9-diaza-benzofazulene,

(*R*)-10-(3-Ethoxymethylpiperazin-1-yl)-2-methyl-4H-3-thia-4,9-diaza-benzofazulene,

(*R*)-10-(3-Allyloxymethyl-4-methyl-piperazin-1-yl)-2-methyl-4H-3-thia-4,9-diaza-benzofazulene,

15 (*R*)-10-(4-Methyl-3-propoxymethyl-piperazin-1-yl)-2-methyl-4H-3-thia-4,9-diaza-benzofazulene,

(*R*)-10-[4-methyl-3-(2-methyl-allyloxymethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzofazulene,

(*R*)-10-(4-Methyl-3-phenoxyethyl-piperazin-1-yl)-2-methyl-4H-3-thia-4,9-diaza-

20 benzofazulene,

(*S*)-2-[1-Methyl-4-(2-methyl-4H-3-thia-4,9-diazabenzofazulene-10-yl)-piperazin-2-yl]ethanol,

(*S*)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzofazulene,

25 (*S*)-10-[3-(3-Methoxy-propyl)-4-methyl-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzofazulene,

(*S*)-10-[3-(2-Methoxyethyl)-4-methylpiperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzofazulene,

(*S*)-10-[3-(2-Ethoxyethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-

30 diazabenzofazulene,

(*S*)-10-[4-Methyl-3-(2-phenoxyethyl)piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzofazulene,

(R)-10-(3-Benzoyloxymethyl-4-methyl-piperazin-1-yl)-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(R)-10-(4-Methyl-3-phenoxyethyl-piperazin-1-yl)-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

5 (S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-isopropyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-10-[3-(3-Methoxy-propyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

10 (S)-10-[3-(4-Methoxybutyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-10-[3-(3-Methoxy-propyl)-4-methyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

15 (S)-6-Fluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6-Fluoro-10-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,

20 (S)-6-Fluoro-10-[3-(2-hydroxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6-Fluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6-Fluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-ethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

25 (S)-6-Fluoro-10-[3-(2-ethoxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6-Fluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-isopropyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

30 (S)-6-Fluoro-10-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

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(S)-7-Fluoro-10-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6-Fluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

5 (S)-6-Fluoro-10-[3-(2-methoxy-propyl)-4-methyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-7-Fluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

10 (S)-7-Fluoro-10-[3-(2-ethoxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-2-[4-(6,7-Difluoro-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulen-10-yl)-1-methyl-piperazin-2-yl]-ethanol,

(S)-6,7-Difluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

15 (S)-6,7-Difluoro-10-[3-(2-ethoxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6,7-Difluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-ethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

20 (S)-6,7-Difluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6,7-Difluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-6-Chloro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

25 (S)-7-Chloro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-7-Chloro-10-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-4H-3-thia-4,9-diaza-benzo[f]azulene,

30 (S)-7-Chloro-10-[3-(2-hydroxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

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(S)-7-Chloro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-7-Chloro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

5 (S)-7-Chloro-10-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

(S)-10-[3-(2-phenylsulfanyl-ethyl)piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzofazulene,

10 (S)-10-[4-Methyl-3-(2-phenylsulfanylethyl)piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzofazulene,

(S)-6-Fluoro-10-[4-methyl-3-(2-methylsulfanyl-ethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulene,

10-[3(S)-(2(S)-Methoxypropyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzofazulene,

15 10-[3(S)-(2(R)-Methoxypropyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzofazulene,

10-[3(S)-(2(S)-Methoxypropyl)-4-methylpiperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzofazulene,

20 10-[3(S)-(2(R)-Methoxypropyl)-4-methylpiperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzofazulene,

(S)-2-Methyl-1-[4-(2-methyl-4H-3-thia-4,9-diaza-benzo[f]azulen-10-yl)piperazin-2-yl]-propan-2-ol,

(S)-2-Methyl-1-[1-methyl-4-(2-methyl-4H-3-thia-4,9-diazabenzofazulene-10-yl)-piperazin-2-yl]-propan-2-ol,

25 (1-[4-(2-Methyl-4H-3-thia-4,9-diazabenzofazulen-10-yl)-piperazin-2(S)-yl]-propan-2(R)-ol,

1-[4-(2-Methyl-4H-3-thia-4,9-diazabenzofazulen-10-yl)-piperazin-2(S)-yl]-propan-2(S)-ol,

30 1-[1-Methyl-4-(2-methyl-4H-3-thia-4,9-diazabenzofazulen-10-yl)-piperazin-2(S)-yl]-propan-2(S)-ol; and

1-[1-Methyl-4-(2-methyl-4H-3-thia-4,9-diazabenzof[*f*]azulen-10-yl)-piperazin-2(*S*)-yl]-propan-2(*R*)-ol,

5

15. The compound of claim 14, selected from the group consisting of  
(*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-isopropyl-4H-3-thia-4,9-diaza-  
benzo[*f*]azulene,

10

(*S*)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-isopropyl-4H-3-thia-4,9-diaza-  
benzo[*f*]azulene,

(*S*)-2-[4-(2-Methyl-4H-3-thia-4,9-diazabenzof[*f*]azulene-10-yl)-piperazin-2-yl]ethanol,  
(*S*)-2-[1-Methyl-4-(2-methyl-4H-3-thia-4,9-diazabenzof[*f*]azulene-10-yl)  
piperazin-2-yl]ethanol,

15

(*S*)-2-Methyl-10-[3-(2-phenoxy-ethyl)-piperazin-1-yl]-4H-3-thia-4,9-diaza-  
benzo[*f*]azulene,

(*S*)-2-Methyl-10-[4-methyl-3-(2-phenoxyethyl)piperazin-1-yl]-4H-3-thia-4,9-  
diazabenzof[*f*]azulene,

(*S*)-10-[3-(2-Methoxyethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-  
diazabenzof[*f*]azulene,

20

(*S*)-10-[3-(2-Methoxyethyl)-4-methylpiperazin-1-yl]-2-methyl-4H-3-thia-4,9-  
diazabenzof[*f*]azulene,

(*S*)-10-[3-(2-Ethoxyethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-diazabenzof[*f*]azulene,

(*S*)-10-[3-(2-Ethoxyethyl)-4-methylpiperazin-1-yl]-2-methyl-4H-3-thia-4,9-  
diazabenzof[*f*]azulene,

25

10-[3(*S*)-(2(*S*)-Methoxypropyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-  
diazabenzof[*f*]azulene,

10-[3(*S*)-(2(*R*)-Methoxypropyl)-piperazin-1-yl]-2-methyl-4H-3-thia-4,9-  
diazabenzof[*f*]azulene,

30

10-[3(*S*)-(2(*S*)-Methoxypropyl)-4-methylpiperazin-1-yl]-2-methyl-4H-3-thia-4,9-  
diazabenzof[*f*]azulene,

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10-[3(*S*)-(2(*R*)-Methoxypropyl)-4-methylpiperazin-1-yl]-2-methyl-4*H*-3-thia-4,9-diazabenzof]azulene,

(*S*)-2-Methyl-1-[4-(2-methyl-4*H*-3-thia-4,9-diaza-benzof]azulen-10-yl)piperazin-2-yl]-propan-2-ol, and

5 (*S*)-2-Methyl-1-[1-methyl-4-(2-methyl-4*H*-3-thia-4,9-diazabenzof]azulene-10-yl)-piperazin-2-yl]-propan-2-ol.

16. The compound of any of claims 12-14, wherein the compound is (*S*)-6-fluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-methyl-4*H*-3-thia-4,9-diaza-  
10 benzo[f]azulene.

17. The compound of any of claims 12-14, wherein the compound is (*S*)-7-chloro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]- 2-methyl-4*H*-3-thia-4,9-diaza-benzo[f]azulene.

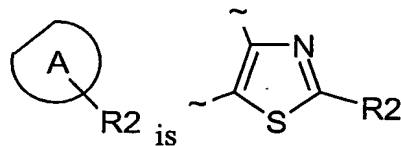
15

18. The compound of claim 12-14, wherein the compound is (*S*)-6,7-difluoro-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]- 2-methyl-4*H*-3-thia-4,9-diaza-benzo[f]azulene.

19. The dihydrochloride salt of the compound of any one of claims 16-18 and solvates  
20 thereof.

20. The dihydrochloride salt of claim 19, wherein the solvate is a hydrate.

21. The compound of any one of claims 1-6, wherein



25 22. The compound of claim 21, wherein:

Alk is (C<sub>1-4</sub>) alkylene;

X is oxygen;



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$R^1$  is hydrogen,  $(C_{1-6})$  fluoroalkyl,  $(C_{3-6})$  cycloalkyl, or  $(C_{1-4})$  alkyl, wherein the  $(C_{1-4})$  alkyl is unsubstituted or substituted with a hydroxy, methoxy, ethoxy, or - $OCH_2CH_2OH$  group;

$R^2$  is H,  $(C_{1-6})$  fluoroalkyl,  $(C_{3-6})$  cycloalkyl,  $-C(O)OR^4$ , or  $(C_{1-6})$  alkyl,  
5 wherein the  $(C_{1-6})$  alkyl is unsubstituted or substituted with a hydroxyl group;

$R^3$  is hydrogen or  $(C_{1-4})$  alkyl;

$R^4$  is hydrogen or  $(C_{1-6})$  alkyl; and

$Z^1$  and  $Z^2$  are hydrogen.

- 10 23. The compound of claim 21 or 22, selected from the group consisting of  
(*S*)-2-[4-(2-Methyl-4H-3-thia-1,4,9-triazabenzof]azulene-10-yl)-piperazin-2-yl]-ethanol,  
(*S*)-10-[3-(2-Methoxyethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-1,4,9-  
triazabenzof]azulene,  
(*S*)-10-[3-(2-Ethoxyethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-1,4,9-  
15 triazabenzof]azulene,  
(*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-ethyl-4H-3-thia-1,4,9-triaza-  
benzof]azulene,  
(*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-propyl-4H-3-thia-1,4,9-triaza-  
benzof]azulene,  
20 (*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-butyl-4H-3-thia-1,4,9-triaza-  
benzof]azulene,  
(*S*)-2-[4-(2-Isopropyl-4H-3-thia-1,4,9-triazabenzof]azulene-10-yl)-  
piperazin-2-yl]ethanol,  
(*S*)-10-[3-(2-Methoxyethyl)-piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-  
25 triazabenzof]azulene,  
(*S*)-10-[3-(2-Ethoxyethyl)-piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-  
triazabenzof]azulene,  
(*S*)-10-[3-(3-Methoxy-propyl)-piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triaza-  
benzof]azulene,  
30 (*S*)-10-[3-(2-Methoxyethyl)-piperazin-1-yl]-2-cyclopentyl-4H-3-thia-1,4,9-  
triazabenzof]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[f]azulene-2-yl-methanol,

(S)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[f]azulene-2-carboxylic acid ethyl ester,

5 (S)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-difluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

10 (S)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-(3,3,3-trifluoro-propyl)-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(S)-2-[1-Methyl-4-(2-methyl-4H-3-thia-1,4,9-triazabenzof]azulene-10-yl)piperazin-2-yl]ethanol,

(S)-10-[3-(2-Methoxyethyl)-4-methylpiperazin-1-yl]-2-methyl-4H-3-thia-1,4,9-triazabenzof]azulene,

15 (S)-10-[3-(2-Ethoxyethyl)-4-methyl-piperazin-1-yl]-2-methyl-4H-3-thia-1,4,9-triazabenzof]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-ethyl-4H-3-thia-1,4,9-triaza-benzof]azulene,

20 (S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-propyl-4H-3-thia-1,4,9-triaza-benzof]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-butyl-4H-3-thia-1,4,9-triaza-benzof]azulene,

(S)-2-[4-(2-Isopropyl-4H-3-thia-1,4,9-triazabenzof]azulene-10-yl)-1-methylpiperazin-2-yl]ethanol,

25 (S)-10-[3-(2-Methoxyethyl)-4-methylpiperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzof]azulene,

(S)-10-[3-(2-Ethoxyethyl)-4-methylpiperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzof]azulene,

30 (S)-10-[3-(3-Methoxy-propyl)-4-methyl-piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triaza-benzof]azulene,

(S)-10-[3-(2-Methoxyethyl)-4-methylpiperazin-1-yl]-2-cyclopentyl-4H-3-thia-1,4,9-triazabenzof[*f*]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[*f*]azulene-2-yl-methanol,

5 (S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[*f*]azulene-2-carboxylic acid ethyl ester,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[*f*]azulene,

10 (S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-difluoromethyl-4H-3-thia-1,4,9-triaza-benzo[*f*]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-(3,3,3-trifluoro-propyl)-4H-3-thia-1,4,9-triaza-benzo[*f*]azulene,

(S)-10-[4-Cyclopropyl-3-(2-methoxyethyl)piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzof[*f*]azulene,

15 (S)-10-[4-Ethyl-3-(2-methoxyethyl)piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzof[*f*]azulene,

(S)-10-[3-(2-Methoxyethyl)-4-propylpiperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzof[*f*]azulene,

20 (S)-2-[4-(2-Isopropyl-4H-3-thia-1,4,9-triazabenzof[*f*]azulene-10-yl)-2-(2-methoxyethyl)piperazin-1-yl]ethanol,

(S)-10-[3,4-Bis(2-methoxyethyl)piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzof[*f*]azulene,

(S)-10-[4-Ethyl-3-(2-methoxy-ethyl)-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[*f*]azulene,

25 (S)-10-[3-(2-Methoxy-ethyl)-4-propyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[*f*]azulene,

(S)-2-Fluoro-1-[2-(2-methoxy-ethyl)-4-(2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[*f*]azulen-10-yl)-piperazin-1-yl]-ethanone,

30 (S)-10-[4-(2-Fluoro-ethyl)-3-(2-methoxy-ethyl)-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[*f*]azulene,

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(*S*)-10-[4-(3-Fluoro-propyl)-3-(2-methoxy-ethyl)-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(*S*)-(2-[2-(2-Methoxy-ethyl)-4-(2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene-10-yl)-piperazin-1-yl]-ethanol,

5 (*S*)-3-[2-(2-Methoxy-ethyl)-4-(2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene-10-yl)-piperazin-1-yl]-propan-1-ol,

(*S*)-(2-[2-(2-(2-Methoxy-ethyl)-4-(2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene-10-yl)-piperazin-1-yl)-ethoxy]-ethanol,

10 10-[3(*S*)-(2(*S*)-Methoxy-propyl)-piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

10-[3(*S*)-(2(*R*)-Methoxy-propyl)-piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

10-[3(*S*)-(2(*S*)-Methoxy-propyl)-4-methyl-piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzofazulene,

15 10-[3(*S*)-(2(*R*)-Methoxy-propyl)-4-methyl-piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzofazulene,

(*S*)-1-[4-(2-Isopropyl-4H-3-thia-1,4,9-triazabenzofazulene-10-yl)-piperazin-2-yl]-2-methyl-propan-2-ol, and

20 (*S*)-1-[4-(2-Isopropyl-4H-3-thia-1,4,9-triazabenzofazulene-10-yl)-1-methylpiperazin-2-yl]-2-methyl-propan-2-ol,

24. The compound of claim 23, selected from the group consisting of

(*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-ethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

25 (*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-propyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[f]azulene-2-carboxylic acid ethyl ester,

30 (*S*)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-(3,3,3-trifluoro-propyl)-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-ethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-propyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

5 (S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[f]azulene-2-carboxylic acid ethyl ester,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-(3,3,3-trifluoro-propyl)-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

10 (S)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[f]azulene-2-yl-methanol,

(S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[f]azulene-2-yl-methanol,

(S)-10-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-2-butyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

15 (S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-butyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(S)-10-[(3-(2-Methoxy-ethyl)-piperazin-1-yl)-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

20 (S)-10-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(S)-10-[3-(2-Methoxy-ethyl)-4-propyl-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(S)-10-[4-Ethyl-3-(2-methoxy-ethyl)-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

25 (S)-10-[4-(3-Fluoro-propyl)-3-(2-methoxy-ethyl)-piperazin-1-yl]-2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

(S)-2-Difluoromethyl-10-[3-(2-methoxy-ethyl)-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

30 (S)-2-Difluoromethyl-10-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-4H-3-thia-1,4,9-triaza-benzo[f]azulene,

- (S)-3-[2-(2-Methoxy-ethyl)-4-(2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene-10-yl)-piperazin-1-yl]-propan-1-ol,  
(S)-2-[2-(2-Methoxy-ethyl)-4-(2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene-10-yl)-piperazin-1-yl]-ethanol,  
5 (S)-2-[2-(2-(2-Methoxy-ethyl)-4-(2-trifluoromethyl-4H-3-thia-1,4,9-triaza-benzo[f]azulene-10-yl)-piperazin-1-yl)-ethoxy]-ethanol,  
(S)-2-[4-(2-methyl-4H-3-thia-1,4,9-triazabenzofazulene-10-yl)-piperazin-2-yl]-ethanol,  
(S)-2-[1-Methyl-4-(2-methyl-4H-3-thia-1,4,9-triazabenzofazulene-10-yl)piperazin-2-yl]ethanol,  
10 (S)-2-Isopropyl-10-[3-(2-methoxyethyl)-piperazin-1-yl]-4H-3-thia-1,4,9-triazabenzofazulene,  
(S)-2-Isopropyl-10-[3-(2-methoxyethyl)-4-methylpiperazin-1-yl]-4H-3-thia-1,4,9-triazabenzofazulene,  
(S)-10-[3-(2-Methoxyethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-1,4,9-triazabenzofazulene,  
15 (S)-10-[3-(2-Methoxyethyl)-4-methylpiperazin-1-yl]-2-methyl-4H-3-thia-1,4,9-triazabenzofazulene,  
(S)-2-[4-(2-Isopropyl-4H-3-thia-1,4,9-triazabenzofazulene-10-yl)-piperazin-2-yl]ethanol,  
20 (S)-2-[4-(2-Isopropyl-4H-3-thia-1,4,9-triazabenzofazulene-10-yl)-1-methylpiperazin-2-yl]ethanol,  
(S)-2-Cyclopentyl-10-[3-(2-methoxyethyl)-piperazin-1-yl]-4H-3-thia-1,4,9-triazabenzofazulene,  
(S)-2-Cyclopentyl-10-[3-(2-methoxyethyl)-4-methylpiperazin-1-yl]-4H-3-thia-1,4,9-triazabenzofazulene,  
25 (S)-10-[3-(2-Ethoxyethyl)-piperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzofazulene,  
(S)-10-[3-(2-Ethoxyethyl)-4-methylpiperazin-1-yl]-2-isopropyl-4H-3-thia-1,4,9-triazabenzofazulene,  
30 (S)-10-[3-(2-Ethoxyethyl)-piperazin-1-yl]-2-methyl-4H-3-thia-1,4,9-triazabenzofazulene,

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(*S*)-10-[3-(2-Ethoxyethyl)-4-methylpiperazin-1-yl]-2-methyl-4*H*-3-thia-1,4,9-triazabenzof]azulene,

(*S*)-10-[4-Cyclopropyl-3-(2-methoxyethyl)piperazin-1-yl]-2-isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene,

5 (*S*)-10-[4-Ethyl-3-(2-methoxyethyl)piperazin-1-yl]-2-isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene,

(*S*)-10-[3-(2-Methoxyethyl)-4-propylpiperazin-1-yl]-2-isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene,

10 (*S*)-10-[3,4-Bis(2-methoxyethyl)piperazin-1-yl]-2-isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene,

(*S*)-2-[4-(2-Isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene-10-yl)-2-(2-methoxyethyl)piperazin-1-yl]ethanol,

10-[3(*S*)-(2(*S*)-Methoxy-propyl)-piperazin-1-yl]-2-isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene,

15 10-[3(*S*)-(2(*R*)-Methoxy-propyl)-piperazin-1-yl]-2-isopropyl 4*H*-3-thia-1,4,9-triazabenzof]azulene,

10-[3(*S*)-(2(*S*)-Methoxy-propyl)-4-methyl-piperazin-1-yl]-2-isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene,

20 10-[3(*S*)-(2(*R*)-Methoxy-propyl)-4-methyl-piperazin-1-yl]-2-isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene,

(*S*)-1-[4-(2-Isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene-10-yl)-piperazin-2-yl]-2-methylpropan-2-ol, and

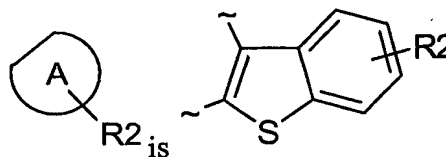
(*S*)-1-[4-(2-Isopropyl-4*H*-3-thia-1,4,9-triazabenzof]azulene-10-yl)-1-methylpiperazin-2-yl]-2-methylpropan-2-ol.

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25. The compound of any of claims 21-24, wherein the compound is (*S*)-10-[3-(2-methoxyethyl)-4-methylpiperazin-1-yl]-2-isopropyl 4*H*-3-thia-1,4,9-triazabenzof]azulene.

30 26. The dihydrochloride salt of the compound of claim 25 and solvates thereof.

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27. The compound of any one of claims 1-6, wherein

28. The compound of claim 27, wherein:

Alk is (C<sub>1-4</sub>) alkylene;

X is oxygen;

R<sup>1</sup> is hydrogen or (C<sub>1-4</sub>) alkyl;

R<sup>2</sup> is H;

R<sup>3</sup> is (C<sub>1-4</sub>) alkyl; and

Z<sup>1</sup> and Z<sup>2</sup> are independently selected from the group consisting of hydrogen, halogen and (C<sub>1-6</sub>) fluoroalkyl.

29. The compound of claim 27 or 28, selected from the group consisting of

(S)-5-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-8-trifluoromethyl-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,

(S)-5-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-8-trifluoromethyl-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,

(S)-5-[3-(2-Methoxy-ethyl)-piperazin-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,

(S)-5-[3-(2-Methoxy-ethyl)-4-methyl-piperazin-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,

(S)-8-Fluoro-5-[3-(2-methoxy-ethyl)-piperazin-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,

(S)-8-Fluoro-5-[3-(2-methoxy-ethyl)-4-methyl-piperazin-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,

(S)-9-Fluoro-5-[3-(2-methoxy-ethyl)-piperazine-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,

(S)-9-Fluoro-5-[3-(3-methoxy-propyl)-piperazin-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,



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(S)-9-Fluoro-5-[3-(2-methoxy-ethyl)-4-methyl-piperazine-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,

(S)-9-Fluoro-5-[3-(3-methoxy-propyl)-4-methyl-piperazin-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene,

5 (S)-8,9-Di-fluoro-5-[3-(2-methoxy-ethyl)-piperazine-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene, and

(S)-8,9-Di-fluoro-5-[3-(2-methoxy-ethyl)-4-methyl-piperazine-1-yl]-11H-12-thia-6,11-diaza-dibenzo[a,f]azulene.

10 30. The compound of any one of claims 1-29, wherein the stereo configuration is "S" about the carbon of the piperazine group bound to Alk.

31. The compound of claim 30, wherein Alk is (C<sub>2-4</sub>) alkylene.

32. The compound of any one of claims 1-29, wherein the stereo configuration is "R" about the carbon of the piperazine group bound to Alk.

15

33. The compound of claim 32, wherein Alk is methylene.

34. The compound of any one of claims 1-8, 12, 13, 21, 22, 27 or 28, wherein Alk is -CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH(CH<sub>3</sub>)- or -CH<sub>2</sub>C(CH<sub>3</sub>)<sub>2</sub>-.

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35. The compound of claim 34, wherein Alk is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-.

36. The compound of claim 34, wherein Alk is -CH<sub>2</sub>CH<sub>2</sub>-.

25 37. The compound of any one of claims 1-8, 12, 13, 21, 22, 27 or 28, wherein X is O.

38. The compound of any one of claims 1-8, 12, 13, 21, 22, 27 or 28, wherein R<sup>1</sup> is (C<sub>1-4</sub>) alkyl.

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39. The compound of claim 38, wherein  $R^1$  is methyl.

40. The compound of any one of claims 1-8, 12, 13, 21, 22, 27 or 28, wherein  $R^2$  is  $(C_{1-6})$  alkyl or  $(C_{1-6})$  fluoroalkyl.

5

41. The compound of claim 40, wherein the  $(C_{1-6})$  fluoroalkyl is  $-CF_3$ .

42. The compound of claim 40, wherein  $R^2$  is  $(C_{1-4})$  alkyl.

10

43. The compound of claim 42, wherein the  $(C_{1-4})$  alkyl is methyl.

44. The compound of claim 42, wherein the  $(C_{1-4})$  alkyl is isopropyl.

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45. The compound of any one of claims 1-8, 12, 13, 21, 22, 27 or 28, wherein  $R^3$  is  $(C_{1-4})$  alkyl.

46. The compound of claim 45, wherein  $R^3$  is methyl or ethyl.

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47. The compound of claim 46, wherein  $R^3$  is methyl.

48. The compound of any one of claims 1-8, 12, 13, 21, 22, 27 or 28, wherein  $Z^1$  and  $Z^2$  are independently selected from hydrogen and halogen.

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49. The compound of claim 48, wherein at least one of  $Z^1$  and  $Z^2$  is halogen.

50. The compound claim 49, wherein the halogen is fluorine.

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51. A pharmaceutical composition comprising an effective amount of a compound according to any one of claims 1-50 in association with a pharmaceutically acceptable carrier, diluent or excipient.

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52. A pharmaceutical composition comprising a compound according to any one of claims 1-50 in an amount effective to antagonize D<sub>2</sub> receptor stimulation, and a pharmaceutically acceptable carrier, diluent or excipient.

5 53. A method for treating a condition which is treatable by reducing D<sub>2</sub> receptor stimulation, comprising administering to the mammal in need thereof a composition according to claim 52.

10 54. A pharmaceutical composition comprising a compound according to any one of claims 1-50 in an amount effective to antagonize 5-HT<sub>2A</sub> receptor stimulation, and a pharmaceutically acceptable carrier, diluent or excipient.

15 55. A method of treating a condition which is treatable by reducing 5-HT<sub>2A</sub> receptor stimulation, comprising administering to the mammal in need thereof a composition according to claim 54.

20 56. A pharmaceutical composition, comprising a compound according to any one of claims 1-50 in an amount effective to antagonize 5-HT<sub>6</sub> receptor stimulation, and a pharmaceutically acceptable carrier, diluent or excipient.

57. A method of treating a condition which is treatable by reducing 5-HT<sub>6</sub> receptor stimulation, comprising administering to the mammal in need thereof the composition according to claim 56.

25 58. A method for antagonizing dopamine receptor D<sub>2</sub>, comprising administering to a mammal an effective amount of a compound according to any one of claims 1-50.

59. A method for antagonizing a 5-HT<sub>2A</sub> receptor, comprising administering to a mammal an effective amount of a compound according to any one of claims 1-50.

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60. A method for antagonizing a 5-HT<sub>6</sub> receptor, comprising administering to a mammal an effective amount of a compound according to any one of claims 1-50.

61. A method for treating a psychotic disorder, comprising administering to a mammal in need thereof an effective amount of a compound according to any one of claims 1-50.

62. The method of claim 61, wherein the psychotic disorder is schizophrenia.

63. The method of claim 61, wherein the psychotic disorder is schizophreniform.

64. The method of claim 61, wherein the psychotic disorder is schizoaffective disorder.

65. A compound according to any one of claims 1-50 for use in treating a psychotic disorder.

66. The compound of claim 65, wherein the psychotic disorder is schizophrenia.

67. The compound of claim 65, wherein the psychotic disorder is schizophreniform.

68. The compound of claim 65, wherein the psychotic disorder is schizoaffective disorder.

69. Use of a compound according to any one of claims 1-50 for the manufacture of a medicament for the treatment of a psychotic disorder.

70. The use of claim 69, wherein the psychotic disorder is schizophrenia.

71. The use of claim 69, wherein the psychotic disorder is schizophreniform.

72. The use of claim 69, wherein the psychotic disorder is schizoaffective disorder.

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73. A method for treating a mood disorder, comprising administering to a mammal in need thereof an effective amount of a compound according to any one of claims 1-50.

74. The method of claim 73, wherein the mood disorder is a bipolar disorder.

5

75. The method of claim 74, wherein the bipolar disorder is acute mania.

76. The method of claim 74, wherein the bipolar disorder is bipolar depression.

10 77. A compound according to any one of claims 1-50 for use in treating a mood disorder.

78. The compound of claim 77, where the mood disorder is a bipolar disorder.

79. The compound of claim 78, wherein the bipolar disorder is acute mania.

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80. The method of claim 78, wherein the bipolar disorder is bipolar depression.

81. Use of a compound according to any one of claims 1-50 for the manufacture of a medicament for the treatment of a mood disorder.

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82. The use of claim 81, wherein the mood disorder is a bipolar disorder.

83. The use of claim 82, wherein the bipolar disorder is an acute mania.

25 84. The use of claim 82, wherein the bipolar disorder is bipolar depression.